

```
cac cca gaa acg ctg gtg aaa gta aaa gat gct gaa gat cag ttg ggt
26
                          V
                               K
                                       K
                                           D
                  T
                      L
                                   V
                                               A
                                                   E
                                                        D
124
     gca cga gtg ggt tac atc gaa ctg gat ctc aac agc ggt aag atc ctt
42
                      Y
                           I
                                   L
                  G
                               E
                                       D
                                           L
                                               NS
172
     gag agt ttt cgc ccc gaa gaa cgt ttt cca atg atg agc act ttt aaa
58
                  R
                      P
                          EE
                                   R
                                       F
                                           P
                                               M
                                                    M
220
     gtt ctg cta tgt ggc gcg gta tta tcc cgt att gac gcc ggg caa gag
74
                              V
                                               I
                  С
                      G
                          A
                                   L
                                       S
                                           R
                                                   D
                                                        A
268
     caa ctc ggt cgc cgc ata cac tat tct cag aat gac ttg gtt gag tac
90
                                                           V
                                   Y
                                           Q | N
              G
                  R
                      R
                           I
                               H
                                       S
                                                   D
                                                        L
316
     tca cca gtc aca gaa aag cat ctt acg gat ggc atg aca gta aga gaa
106
                      E.
                          K
                               H
                                   L
                                           D
                                               G
                                                   M
364
     tta tgc agt gct gcc ata acc atg agt gat aac act gcg gcc aac tta
122
              S
                  A
                      A
                          I
                               T
                                   M
                                       S
                                           D
                                               N
                                                    T
                                                        A
412
     ctt ctg aca acg atc gga gga ccg aag gag cta acc gct ttt ttg cac
138
                      Ι
                               G
                                   P
                                       K
                                           E
                                               L
                                                    Т
460
     aac atg ggg gat cat gta act cgc ctt gat cgt tgg gaa ccg gag ctg
154
              G
                  D
                      H
                          V
                               T
                                   R
                                       L
                                           D
                                               R
                                                   W
                                                        E
508
     aat gaa gcc ata cca aac gac gag cgt gac acc acg atg cct gta gca
170
                  I
                      P
                         N
                               D
                                   E
                                       R
                                           D
                                               T
                                                    т
                                                        M
556
     atg gca aca acg ttg cgc aaa cta tta act ggc gaa cta ctt act cta
186
          A
                  T
                      L
                          R
                               K
                                   L
                                       L
                                           T
                                               G
                                                    E | L
                                                                    L
                                                            L
604
     gct tcc cgg caa caa tta ata gac tgg atg gag gcg gat aaa gtt gca
202
              R
                  Q
                      Q
                           L
                               I
                                   D
                                       W
                                           M
                                               E
                                                    A
                                                        D
                                                            K V
652
     gga cca ctt ctg cgc tcg gcc ctt ccg gct ggc tgg ttt att gct gat
218
     G
          ₽
              L
                  L
                      R
                           S
                               Α
                                   L
                                       P
                                           A G
                                                    W
                                                        F
                                                            I
700
     aaa tet gga gee ggt gag egt ggg tet ege ggt ate att gea gea etg
234
                      G
                               R
                  A
                                   G
                                       S
                                           R
                                               G
                                                    I
                                                        I
                                                            Α
748
     ggg cca gat ggt aag ccc tcc cgt atc gta gtt atc tac acg acg ggg
250
                  G | K
                                       I
                           P
                               S
                                   R
                                           V
                                               V
                                                    I
796
     agt cag gca act atg gat gaa cga aat aga cag atc gct gag ata ggt
266
              A
                  T
                      M
                          D
                               Е
                                   R
                                       N
                                           R
                                               Q
                                                    I
                                                        A
844
     gcc tca ctg att aag cat tgg
282
              L
                  I
                      K
                           H
```

Figure 2

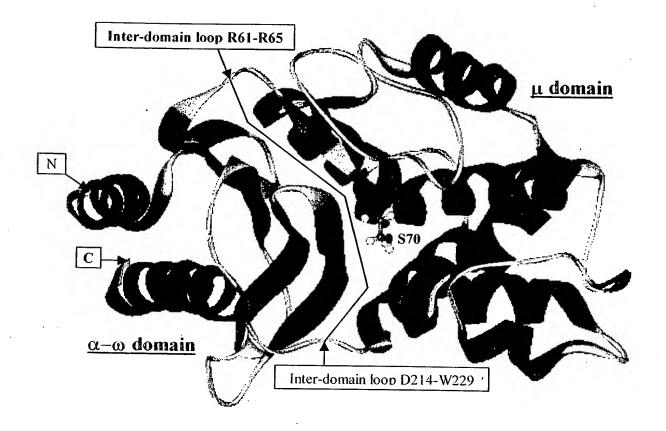


Figure 3

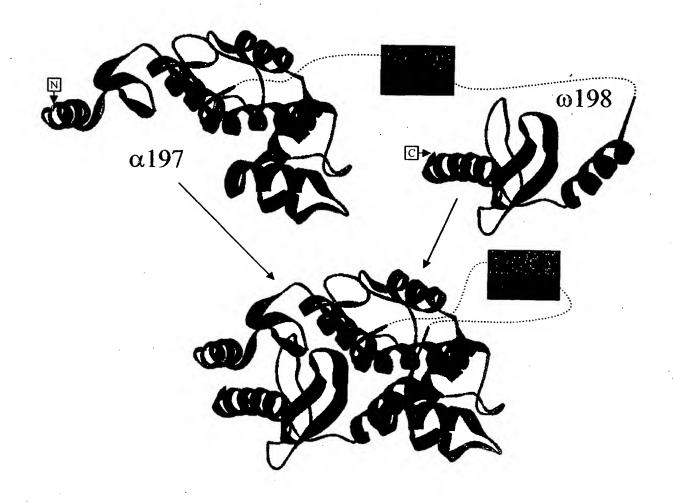


Figure 4

1. YW-200 IC₅₀: 0.01 nM

3. Aniline Mustard

5. Doxorubicin

IC₅₀: 10 nM NHCOCH СООН

4. Aniline Mustard Cephalosporin Prodrug

6. Doxorubicin Cephalosporin Prodrug

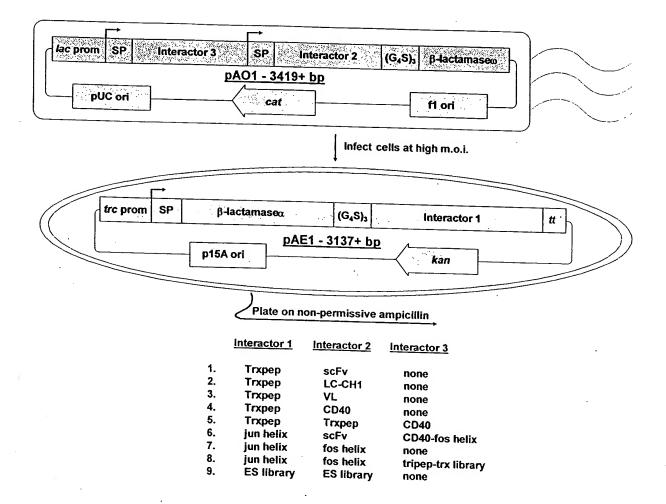


Figure 6

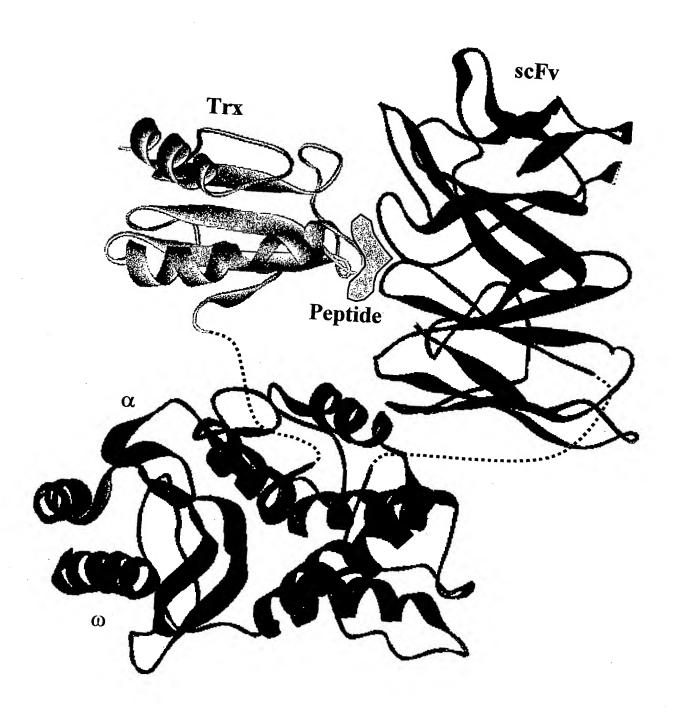


Figure 7

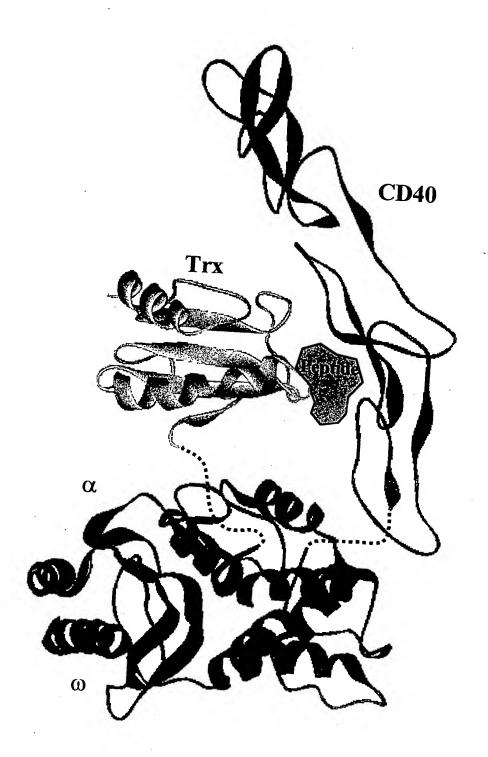


Figure 8

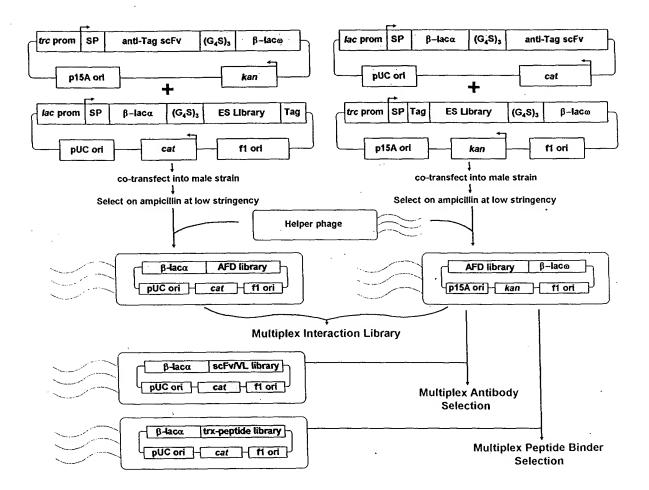
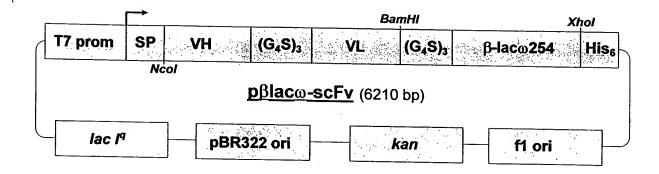


Figure 9

Attorney. Docket No.: 021167-000750US Robert F. Balint, et al. Sheet 10 of 11

| | | ,1,2,3,4,5,6 |
|---------|---------|--|
| | AA | MGSAIEQDGLHAGSPAAWVERLFGYDWAQQTIGCSDAAVFRLSAQGRPVLFVKTDLSGAL |
| | PHD sec | |
| subset: | | LLLLLLLLL.HHHHHHH.LLLLLLL.HLLL.EEEEE.LLLLL. |
| | | 987133465669894799999815523221257775463342249993789851777773 |
| access: | | eeebbeeeeeee eeebbeebee ebbeeeebebbbbbbb |
| 10st: | | 19970067777787579700760673600767706000000606979676000606777771 |
| | 15 400 | 122100011111010121001000100000000000000 |
| | | ,7,8,9,10,11,12 |
| | AA | NELQDEAARLSWLATTGVPCAAVLDVVTEAGRDWLLLGEVPGQDLLSSHLAPAEKVSIMA |
| | | НИНИНИНИНИНИ НИНИНИН ЕЕЕЕЕ НИНИНИНИН |
| subset. | | HHHHHHHHHHLLLLLEE.LLLLLL.HHHHHHHH |
| subsec. | Rel sec | 32779999999996599872322332122322354310278765424553599999999 |
| 3000000 | | eebeeebe bbbbbeeebebbbbbbebeeeeebbbbbbbb |
| 10st: | | 1770776065000007770600600600677760000070060770777577777006000 |
| 1050. | FHD acc | [//0//606300000///060060060060///600000//060//0///5/////006000/ |
| | | ,13,14,15,16,17,18 |
| | AA | DAMRRLH <u>TLDPATCPFDHQ</u> AKHRIERARTRMEAGLV <u>DQDDLDEEHQGLA</u> PAELFARLKAR |
| | | |
| aubaat. | | |
| subset: | | HHHHHH.LLLL.LLLLLHHHHHHHHHHH.LLLLLLLLLLLHHHHHH |
| | | 19999983886646888733289999999971555588742213686789999999911 |
| | | ebbbebbebebebbbeeebeebeebeebeebbb beebee |
| 10st: | PHD acc | 700060070607700077707760770676077000507607767777607600760 |
| | | 10 00 01 00 00 |
| | 7.7 | ,19,21,22,23,24 |
| | AA | MPDGED LVVTHGDACLPNIMVENGRFSGFIDCGRLGVADRYQDIALATRDIAEELGGEWA |
| | PHD sec | |
| subset: | SUB sec | |
| | | 18989966886267886631531883578881431123447899999999999752849991 |
| | | eeeeeebbbbbbbbbbbbbbbbbbbbbbbbbbbbbbb |
| 10st: | PHD acc | 6777770000006000000067060000000040000050000000660677077736 |
| | | · |
| | | ,25,26,27,28,29,30 |
| | AA | DRFLVLYGIAAPDSQRIAFYRLLDEFF |
| | | ннннян ннянняннян |
| subset: | | HHHHHHH.LLLLLHHHHHHHHH.LL |
| | | 999999737998834667579998359 |
| access: | | e bbeebbbee eeeebebbbbbeebb |
| 10st: | PHD acc | 75006600076577760600006600 |



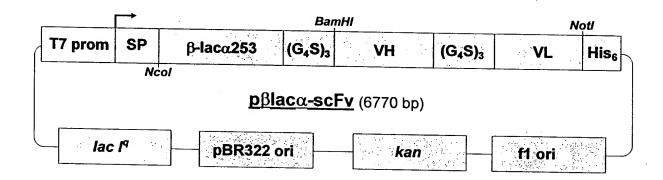


Figure 11